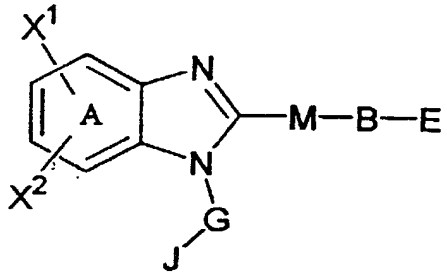
# CLAIMS

1. An inhibitor against human chymase activity containing a benzimidazole derivative expressed by the following formula (1) or its salt as an active ingredient,




(1)

[in the formula (1), the ring marked with A expresses a pyridine ring or a benzene ring;

$X^1$ and $X^2$ are each at the same time or independently a hydrogen atom, a halogen atom, a trihalomethyl group, a hydroxyl group, a nitro group, a cyano group, $-CH_2NH_2$, $-CH=NR^1$, $-CH=NOR^1$ or $-CONR^1R^2$ (here, $R^1$ and $R^2$ are each a hydrogen atom or a $C_{1-4}$ alkyl group), $-COOR^3$ (here, $R^3$ is a hydrogen atom or a $C_{1-4}$ alkyl group), a substituted or unsubstituted $C_{1-6}$ normal, cyclic or branched alkyl group, a substituted or unsubstituted $C_{3-7}$ cycloalkyl group, a substituted or unsubstituted $C_{1-6}$ normal or branched alkoxyl group, a substituted or unsubstituted $C_{1-6}$ normal or branched alkylthio group, a substituted or unsubstituted $C_{1-6}$ normal or branched alkylsulfonyl group or a substituted or unsubstituted $C_{1-6}$ normal or branched alkylsulfinyl group {the substituent permissible to the groups is a halogen atom, a hydroxyl group, a nitro group, a cyano group, an acyl group, a trihalomethyl group, a trihalomethoxy group, a phenyl group, an oxo group or a phenoxy group optionally substituted with one or more halogen atoms, and the substituent may substitute singly or plurally independently at arbitrary position(s)};

B is a substituted or unsubstituted $C_{1-6}$ normal, cyclic or branched alkylene group or a substituted or unsubstituted $C_{2-6}$ normal or branched alkenylene group {the substituent permissible to the groups is a halogen atom, a hydroxyl group, a nitro group, a cyano group, a $C_{1-6}$ normal or branched alkoxyl

group (including the case where adjacent two groups form an acetal bonding), a $C_{1-6}$ normal or branched alkylthio group, a $C_{1-6}$ normal or branched alkylsulfonyl group, a $C_{1-6}$ normal or branched acyl group, a $C_{1-6}$ normal or branched acylamino group, a trihalomethyl group, a trihalomethoxy group, a phenyl group, an oxo group or a phenoxy group optionally substituted with one or more halogen atoms, and the substituent may substitute singly or plurally independently at arbitrary position(s) of the alkylene group or an alkenylene group; between atoms, the alkylene group or alkenylene group optionally contains one or more of -O-, -S-, $-SO_2-$ or $-NR^4-$, but this atom or atomic group does not bond directly to the M, and here $R^4$ is a hydrogen atom or a $C_{1-6}$ normal or branched alkyl group};

E expresses $-COOR^4$, $-SO_3R^4$, $-CONHR^5$, $-SO_2NHR^4$, $-PO(OR^6)_2$, a tetrazol-5-yl group, a 5-oxo-1,2,4-oxadiazol-3-yl group or a 5-oxo-1,2,4-thiadiazol-3-yl group (here, $R^4$ is similarly defined as above; $R^5$ is a hydrogen atom, a cyano group, or a $C_{1-6}$ normal or branched alkyl group; $R^6$ is a hydrogen atom, a $C_{1-6}$ normal or branched alkyl group, or trifluoromethylsulfonyl group, or its pharmaceutically permissible salt);

G is a substituted or unsubstituted $C_{1-6}$ normal or branched alkylene group {between atoms, the alkylene group optionally contains one or more of -O-, -S-, $-SO_2-$ or $-NR^4-$, but this atom or atomic group does not bond directly to the nitrogen atom of the imidazole ring ($R^4$ is similarly defined as above), and the substituent is a halogen atom, a hydroxyl group, a nitro group, a cyano group, a $C_{1-6}$ normal or branched alkoxyl group (including the case where adjacent two groups form an acetal bonding), a trihalomethyl group, a trihalomethoxy group, a phenyl group or an oxo group};

J is a substituted or unsubstituted $C_{1-6}$ normal, cyclic or branched alkyl group, a substituted or unsubstituted $C_{4-10}$ aryl group {the substituent permissible to the groups is a halogen atom, a hydroxyl group, a nitro group, a cyano group, $-COOR^7$ (here, $R^7$ is a hydrogen atom or a $C_{1-4}$ alkyl group), a $C_{1-6}$ normal, cyclic or branched alkyl group, a $C_{1-6}$ normal or branched alkoxyl group (including the case where adjacent two groups form an acetal bonding), a $C_{1-6}$ normal or branched alkylthio group, a $C_{1-6}$ normal or branched alkylsulfonyl group, a $C_{1-6}$ normal or branched alkylsulfinyl group, a $C_{1-6}$ acyl group, a $C_{1-6}$ normal or branched acylamino group, a trihalomethyl group, a trihalomethoxy

group, a phenyl group, an oxo group, or a phenoxy group optionally substituted with one or more halogen atoms; the substituent may substitute singly or plurally independently at arbitrary position(s) of the alkyl group or aryl group; and the substituent is further optionally substituted with a halogen atom, a hydroxyl group, a nitro group, a cyano group, an acyl group, a trihalomethyl group, a phenyl group, an oxo group or a phenoxy group optionally substituted with a halogen atom}; and

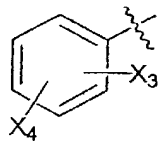
M is a sulfur atom, a sulfinyl group, a sulfonyl group, a single bond or $-CR^8R^9-$ (here, $R^8$ and $R^9$ are each at the same time or independently a hydrogen atom or a $C_{1-4}$ alkyl group)].

2. An inhibitor against human chymase activity set forth in Claim 1 wherein the ring marked with A in the above formula (1) is a benzene ring.

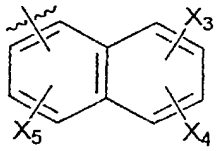
3. An inhibitor against human chymase activity set forth in Claim 1 wherein the ring marked with A in the above formula (1) is a pyridine ring.

4. An inhibitor against human chymase activity set forth in one out of Claims 1 to 3 wherein $X^1$ and $X^2$ in the above formula (1) are each at the same time or independently a hydrogen atom, a halogen atom, a trihalomethyl group, a cyano group, a substituted or unsubstituted $C_{1-3}$ normal or branched alkyl group, a substituted or unsubstituted $C_{1-3}$ normal or branched alkoxyl group, or a substituted or unsubstituted $C_{1-3}$ normal or branched alkylthio group.

5. An inhibitor against human chymase activity set forth in one out of Claims 1 to 4 wherein J in the above formula (1) is a group described in the following formula (2) or (3),




(2)




(3)

[here, $X^3$, $X^4$ and $X^5$ are each at the same time or independently a hydrogen atom, a halogen atom, a hydroxyl group, a nitro group, a cyano group, a trihalomethyl group, a trihalomethoxy group, $-COOR^7$ (here, $R^7$ is a hydrogen atom or a $C_{1-4}$ alkyl group), a substituted or unsubstituted $C_{1-3}$ normal or branched alkyl group, a substituted or unsubstituted $C_{1-3}$ normal or branched

alkoxyl group, a substituted or unsubstituted $C_{1-3}$ normal or branched alkylthio group, a substituted or unsubstituted $C_{1-3}$ normal or branched alkylsulfonyl group, or a substituted or unsubstituted $C_{1-3}$ normal or branched alkylsulfinyl group; there is no limitation regarding the substitution positions of $X^3$, $X^4$ and $X^5$ on the benzene ring or the naphthalene ring].

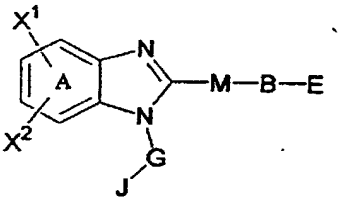
6. An inhibitor against human chymase activity set forth in one out of Claims 1 to 5 wherein M in the above-mentioned formula (1) is a sulfur atom.

7. An inhibitor against human chymase activity set forth in one out of Claims 1 to 6 wherein B in the above-mentioned formula (1) is a substituted or unsubstituted $C_{1-6}$ normal, cyclic or branched alkylene group.

8. An inhibitor against human chymase activity set forth in one out of Claims 1 to 7 wherein G in the above-mentioned formula (1) is -$CH_2$-, -$CH_2CH_2$-, -$CH_2CO$-, -$CH_2CH_2O$-, -$CH_2CONH$-, -CO-, -$SO_2$-, -$CH_2SO_2$-, -$CH_2S$- or -$CH_2CH_2S$- (J bonds to the right side of said group).

9. An inhibitor against human chymase activity set forth in one out of Claims 1 to 8 wherein E in the above-mentioned formula (1) is -COOH.

10. A benzimidazole derivative expressed by the following formula (4) or its pharmaceutically permissible salt,




(4)

[in the formula (4), the definitions of the ring marked with A, and $X^1$, $X^2$, B, E, G, J and M are same as those in the above formula (1); however, excepting the case where at least one of $X^1$ and $X^2$ is a cyano group, -$CH_2NH_2$, -$CH{=}NR^1$, -$CH{=}NOR^1$ or -$CONR^1R^2$ (here, $R^1$ and $R^2$ are each a hydrogen atom or a $C_{1-4}$ alkyl group), J expresses only a substituted naphthalene ring].

11. A benzimidazole derivative or its pharmaceutically permissible salt set forth in Claim 10 wherein $X^1$ and $X^2$ in the above formula (4) are each a hydrogen atom, a cyano group, -$CH_2NH_2$, -$CH{=}NR^1$, -$CH{=}NOR^1$ or -$CONR^1R^2$ (here, $R^1$ and $R^2$ are each a hydrogen atom or a $C_{1-4}$ alkyl group; $X^1$ and $X^2$ are not hydrogen at the same time).

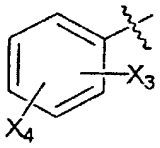
12. A benzimidazole derivative or its pharmaceutically permissible salt set forth in Claim 10 wherein $X^1$ and $X^2$ in the above formula (4) are each at the same time or independently a hydrogen atom, a halogen atom, a trihalomethyl group, a hydroxyl group, a nitro group, -CH=$NR^1$ (here, $R^1$ is a hydrogen atom or a $C_{1-4}$ alkyl group), -$COOR^3$ (here, $R^3$ is a hydrogen atom or a $C_{1-4}$ alkyl group), a substituted or unsubstituted $C_{1-6}$ normal, cyclic or branched alkyl group, a substituted or unsubstituted $C_{3-7}$ cycloalkyl, a substituted or unsubstituted $C_{1-6}$ normal or branched alkoxyl group, a substituted or unsubstituted $C_{1-6}$ normal or branched alkylthio group, a substituted or unsubstituted $C_{1-6}$ normal or branched alkylsulfonyl group or a substituted or unsubstituted $C_{1-6}$ normal or branched alkylsulfinyl group {the substituent permissible to the groups is a halogen atom, a hydroxyl group, a nitro group, a cyano group, an acyl group, a trihalomethyl group, a trihalomethoxy group, a phenyl group, an oxo group or a phenoxy group optionally substituted with one or more halogen atoms, and the substituent may substitute singly or plurally independently at arbitrary position(s)}.

13. A benzimidazole derivative or its pharmaceutically permissible salt set forth in Claim 10 wherein $X^1$ and $X^2$ in the above formula (4) are each a hydrogen atom or a cyano group (here, $X^1$ and $X^2$ can not be hydrogen toms at the same time).

14. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 13 wherein M in the above formula (4) is a sulfur atom.

15. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 14 wherein B in the above formula (4) is a substituted or unsubstituted $C_{1-6}$ normal, cyclic or branched alkylene group.

16. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 15 wherein J in the above formula (4) is a group expressed by the following formula (2) or (3),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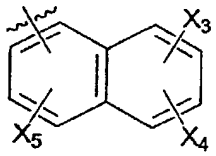
(3)

[here, $X^3$, $X^4$ and $X^5$ are each at the same time or independently a hydrogen atom, a halogen atom, a hydroxyl group, a nitro group, a cyano group, a trihalomethyl group, a trihalomethoxy group, -$COOR^7$ (here, $R^7$ is a hydrogen atom or a $C_{1-4}$ alkyl group), a substituted or unsubstituted $C_{1-3}$ normal or branched alkyl group, a substituted or unsubstituted $C_{1-3}$ normal or branched alkoxyl group, a substituted or unsubstituted $C_{1-3}$ normal or branched alkylthio group, a substituted or unsubstituted $C_{1-3}$ normal or branched alkylsulfonyl group, or a substituted or unsubstituted $C_{1-3}$ normal or branched alkylsulfinyl group; there is no limitation regarding the substitution positions of $X^3$, $X^4$ and $X^5$ on the benzene ring or the naphthalene ring].

17. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 16 wherein G in the above formula (4) is -$CH_2$-, -$CH_2CH_2$-, -$CH_2CO$-, -$CH_2CH_2O$-, -$CH_2CONH$-, -CO-, -$SO_2$-, -$CH_2SO_2$-, -$CH_2S$- or -$CH_2CH_2S$- (J bonds to the right side of said group).

18. A benzimidazole derivative or its pharmaceutically permissible salt set forth in one out of Claims 10 to 17 wherein E in the above formula (4) is COOH.

19. A pharmaceutical composition consisting of a benzimidazole derivative and/or its pharmaceutically permissible salt set forth in one out of Claims 10 to 18, and a pharmaceutically permissible carrier.

20. A chymase activity inhibitor set forth in one out of Claims 1 to 9 whose targeting disease is an inflammatory disease, an allergy disease, a respiratory disease, a cardiovascular disease or a bone/cartridge metabolic disease.

21. A human chymase activity inhibitor set forth in Claim 20 which is a preventing agent or a treating agent of a disease.